

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
1 July 2004 (01.07.2004)

PCT

(10) International Publication Number:
WO 2004/054972 A1

(51) International Patent Classification⁷: **C07D 209/14**,
A61K 31/404, A61P 43/00, C07D 209/42, 405/12, 417/12,
A61K 31/495

[DE/DE]; Mathildenstr. 6, 64342 Seeheim-Jugenheim
(DE).

(21) International Application Number: PCT/EP2003/013374

(74) Common Representative: **MERCK PATENT GMBH**;
Frankfurter Strasse 250, 64293 Darmstadt (DE).

(22) International Filing Date:
27 November 2003 (27.11.2003)

(25) Filing Language: German

(26) Publication Language: German

(30) Priority Data:
102 59 244.6 17 December 2002 (17.12.2002) DE

(71) Applicant (for all designated States except US): **MERCK
PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293
Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HEINRICH, Timo**
[DE/DE]; Römerhofstrasse 6, 64823 Gross-Umstadt (DE).
BÖTTCHER, Henning [DE/DE]; Stiftstrasse 12, 64287
Darmstadt (DE). **SCHIEMANN, Kai** [DE/DE]; Am Röder-
graben 8, 64342 Seeheim-Jugenheim (DE). **HÖLZEMANN,**
Günter [DE/DE]; Gutenbergstrasse 6b, 64342 Seeheim-
Jugenheim (DE). **VAN AMSTERDAM, Christoph** [DE/DE];
Schepp-Allee 47, 64295 Darmstadt (DE). **BARTOSZYK,**
Gerd [DE/DE]; Kreuzstrasse 57, 64331 Weiterstadt (DE).
LEIBROCK, Joachim [DE/DE]; Mühlstrasse 91a, 64319
Pfungstadt (DE). **SEYFRIED, Christoph**

(81) Designated states (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO,
CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

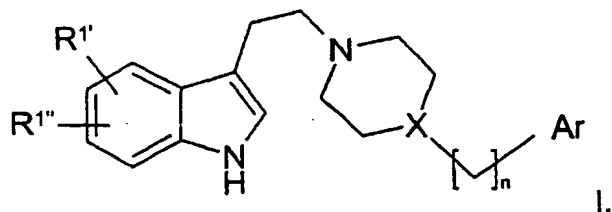
(84) Designated states (regional): ARIPO Patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM), European Patent (AT, BE, BG, CH, CY, CZ, DE,
DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
PT, RO, SE, SI, SK, TR), OAPI Patent (BF, BJ, CF, CG,
CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: N-(INDOLETHYL-)CYCLOAMINE COMPOUNDS



(57) Abstract: N-(indolethyl-)cycloamine compounds of the formula (I): in which R¹, R^{1'}, X, Ar, and n have a meaning indicated in Claim 1, are serotonin reuptake inhibitors (SSRIs) and effectors of the serotonergic receptors 5-HT_{1A} and 5-HT_{2A}. They are therefore suitable for the prophylaxis or treatment of various diseases of the central nervous system, such as depression, dyskinesia, Parkinson's disease, dementia, strokes, schizophrenia, Alzheimer's disease, Lewy bodies dementia, Huntington's disease, Tourette's syndrome, anxiety, learning and memory impairment, pain, sleeping disorders and neurodegenerative diseases.